

(FILE 'HOME' ENTERED AT 16:29:51 ON 10 FEB 2003)

FILE 'REGISTRY' ENTERED AT 16:29:55 ON 10 FEB 2003

L1 STRUC
L2 1 S L1
L3 9 S L1 FUL

FILE 'CAPLUS' ENTERED AT 16:32:58 ON 10 FEB 2003

L4 18 S L3

FILE 'REGISTRY' ENTERED AT 16:34:22 ON 10 FEB 2003

L5 3 S 134234-13-2 OR 134234-12-1 OR 134138-41-3

FILE 'CAPLUS' ENTERED AT 16:35:23 ON 10 FEB 2003

L6 43 S L5
L7 0 S L6 AND CHIRAL
L8 0 S L6 AND (STEREO?(L) CATALYST?)
L9 0 S L6 AND CATALYST?
L10 0 S L6 AND HYDROGENA?
L11 43 S L6
L12 19 S L11 AND P/DT
L13 12 S L5/P
L14 1 S L13 AND HYDROGEN?

=> s l13 not l14

L15 11 L13 NOT L14

=> d bib abs 1-11

L15 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2003 ACS

AN 2002:314393 CAPLUS

DN 136:325428

TI Preparation of 1-(hydroxyphenyl)-2-(phenylpiperidinyl)-1-propanol NMDA
NR2B antagonists for treating depression and neurodegenerative disorders

IN Chenard, Bertrand Leo; Menniti, Frank Samuel; Saltarelli, Mario David

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1199068	A2	20020424	EP 2001-308295	20010928
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	AU 2001077304	A5	20020411	AU 2001-77304	20010928
	JP 2002161052	A2	20020604	JP 2001-306254	20011002
	US 2002072538	A1	20020613	US 2001-969317	20011002
PRAI	US 2000-237770P	P	20001002		
OS	MARPAT 136:325428				
GI					



AB Title compds. I [wherein R1-R4 = independently H, alkyl, halo, CF₃, OH, or OR₇; R₅ = Me or Et; or R₂ and R₅ are taken together to form a chroman-4-ol ring; R₆ = 4-OH-4-R₈-piperidino, 3-OH-3-R₈-pyrrolidino, or 3-XR₈-8-azabicyclo[3.2.1]oct-8-yl; R₇ = Me, Et, Pr-i, or Pr-n; R₈ = (un)substituted Ph; X = O, S, or (CH₂)_n; n = 0-3] were prep'd. as selective N-methyl-D-aspartate (NMDA) NR2B subtype receptor antagonists for treating certain disorders resulting from neurodegeneration and for treating depression. These disorders include hearing loss, vision loss, neurodegeneration caused by epileptic seizures, neurotoxin poisoning, Restless Leg Syndrome, multi-system atrophy, non-vascular headache, and depression (no data). Thus, II.bul.MeSO₃H was synthesized on pilot-scale in an 8-step process involving: (1) benzylation of 4'-hydroxypropiophenone (96.3%) , (2) .alpha.-bromination (77.6%), (3) addn. of 4-hydroxy-4-phenylpiperidine (77%), (4) redn. to give the threo isomer (86.5%), (5) debenzylation (90%), (6) resoln. of the (S,S)-isomer using D-(-)-tartaric acid (76.7%), (7) conversion to the free base (93.5%), and (8) mesylate salt formation (88%).

L15 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2003 ACS

AN 2002:314392 CAPLUS

DN 136:319415

TI N-methyl-D-aspartate antagonists for prophylactic and treatment in a mammal of neurol. damage resulting from impairment of glucose and/or oxygen supply to the brain

IN Chenard, Bertrand Leo; Menniti, Frank Samuel; Saltarelli, Mario David;
Schneider, Erika

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 20 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

[illegible]

PI	EP 1199067	A2	20020424	EP 2001-308289	20010928
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2002072485	A1	20020613	US 2001-969354	20011002
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JP 2002322092 A2 20021108 JP 2001-306332 20011002

PRAI US 2000-237324P P 20001002

OS MARPAT 136:319415

AB Methods are disclosed for the inhibition in a mammal neurol. damage resulting from impairment of glucose and/or oxygen supply to the brain. Method comprises administration to the mammal prior to the impairment of glucose and/or oxygen supply to the brain an amt. of an NR2B subunit selective NMDA antagonist, which amt. is effective in inhibiting neurol. damage. The invention also provides a method for the prevention of primary hyperalgesia, secondary hyperalgesia, primary allodynia, secondary allodynia, or other pain caused by central sensitization, in a mammal, which method comprises administration to the mammal, prior to affliction with said pain, an amt. of an NR2B subunit selective NMDA antagonist,

which amt. is effective in preventing said pain.

L15 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2003 ACS

AN 2002:183752 CAPLUS

DN 136:241682

TI Pharmaceutical combinations for the treatment of stroke and traumatic brain injury

IN Chenard, Bertrand Leo; Saltarelli, Mario David; Menniti, Frank Samuel

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1186304	A2	20020313	EP 2001-307521	20010904
	EP 1186304	A3	20030205		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 2002123510	A1	20020905	US 2001-947878	20010906
	JP 2002322096	A2	20021108	JP 2001-270308	20010906
PRAI	US 2000-230943P	P	20000906		

OS MARPAT 136:241682

AB Methods for the treatment of hypoxic or ischemic stroke comprising administration to a patient in need of such treatment an NMDA receptor antagonist (multiple Markush structures included) in combination with a thrombolytic agent are disclosed.

L15 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2003 ACS

AN 2002:183751 CAPLUS

DN 136:226803

TI Pharmaceutical combinations, for the treatment of stroke and traumatic brain injury, containing a neutrophil inhibiting factor and an selective NMDA-NR2B receptor antagonist

IN Chenard, Bertrand Leo; Menniti, Frank Samuel; Saltarelli, Mario David

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1186303	A2	20020313	EP 2001-307246	20010824
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 2001003888	A	20020604	BR 2001-3888	20010905
	US 2002045656	A1	20020418	US 2001-947652	20010906
	JP 2002322095	A2	20021108	JP 2001-270196	20010906
PRAI	US 2000-230944P	P	20000906		

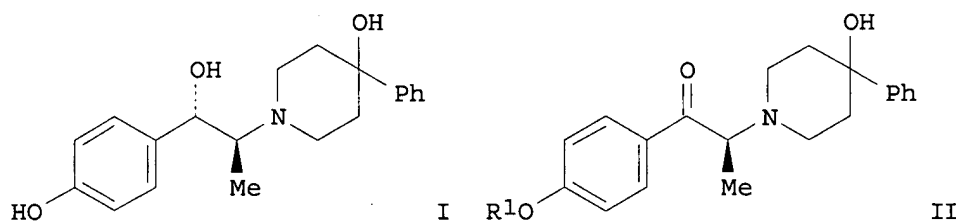
OS MARPAT 136:226803

AB This invention relates to methods of treating traumatic brain injury (TBI) or hypoxic or ischemic stroke, comprising administering to a patient in need of such treatment an NR2B subtype selective N-methyl-D-aspartate (NMDA) receptor antagonist in combination with either: (a) a neutrophil inhibitory factor (NIF); (b) a sodium channel antagonist; (c) a nitric oxide synthase (NOS) inhibitor; (d) a glycine site antagonist; (e) a potassium channel opener; (f) an AMPA/kainate receptor antagonist; (g) a calcium channel antagonist; (h) a GABA-A receptor modulator (e.g., a GABA-A receptor agonist); or (i) an antiinflammatory agent.

L15 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2003 ACS

AN 2001:796279 CAPLUS
 DN 135:331349
 TI Process for the preparation of the mesylate salt trihydrate of
 1-(4-hydroxyphenyl)-2-(4-hydroxy-4-phenylpiperidin-1-yl)-1-propanol and
 its intermediates
 IN Rainville, Joseph Philip; Sinay, Terry Gene, Jr.; Walinsky, Stanley Walter
 PA Pfizer Products Inc., USA
 SO Eur. Pat. Appl., 15 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1149831	A1	20011031	EP 2001-303713	20010424
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 2002016466	A1	20020207	US 2001-840668	20010423
	CA 2345286	AA	20011028	CA 2001-2345286	20010426
	BR 2001001611	A	20020115	BR 2001-1611	20010426
	CN 1322716	A	20011121	CN 2001-117154	20010427
	JP 2001354650	A2	20011225	JP 2001-130684	20010427
PRAI	US 2000-200417P	P	20000428		
OS	CASREACT 135:331349; MARPAT 135:331349				
GI					



AB The present invention is directed to a novel process for the prepn. of the
 title compd. I. MeSO₃H comprising redn. of (2S)-II [R₁ = CH₂Ph,
 alkylbenzyl, aroyl, etc.] with alkali borohydride [LiBH₄, NaBH₄] followed
 by cleaving off the protecting group R₁ in the presence of MeSO₃H. The
 present invention is further directed to a process for the prepn. of a
 (2S)-(+)-II starting from racemic II.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2003 ACS
 AN 2001:508068 CAPLUS
 DN 135:87188
 TI Method using a NR2B-selective NMDA antagonist for treating acute, chronic
 and/or neuropathic pain
 IN Menniti, Frank S.; Chenard, Bertrand L.; Saltarelli, Mario D.; Parker,
 Jonathon M.
 PA USA
 SO U.S. Pat. Appl. Publ., 14 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2001007872	A1	20010712	US 1999-397891	19990917

PRAI US 1998-102630P P 19981001

OS MARPAT 135:87188

AB A method is provided for treating acute, chronic and/or neuropathic pain with an effective amt. of an NR2B-selective NMDA antagonist having a ratio of NR2B receptor activity to .alpha.1-adrenergic receptor activity of at least about 3:1. Prepn. of e.g. (1R*,2R*)-1-(4-hydroxy-3-methylphenyl)-2-[4-(4-fluorophenyl)-4-hydroxypiperidin-1-yl]propan-1-ol mesylate is described.

L15 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2003 ACS

AN 1998:118605 CAPLUS

DN 128:167356

TI Preparation of phenylpiperidinypropanols as neuroprotectants for treatment of tinnitus.

IN Sands, Steven B.

PA Pfizer Inc., USA

SO U.S., 10 pp.

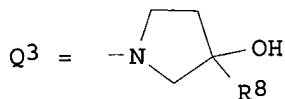
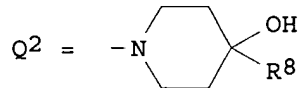
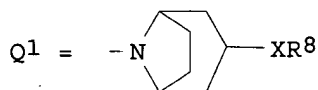
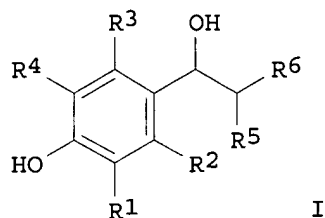
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5716961	A	19980210	US 1996-709996	19960909
PRAI	US 1996-709996		19960909		
OS	MARPAT 128:167356				
GI					



AB A method of treating tinnitus comprises administration of title compds. [I; R1-R4 = H, alkyl, halo, OH, CF3, OR7; R5 = Me, Et; R2R5 = OCH2; R6 = Q1, Q2, Q3; R7 = Me, Et, Me2CH, Pr; R8 = (substituted) Ph; X = O, S, (CH2)n; n = 0-3] (no data). Thus, racemic (1S*,2S*)-1-(4-hydroxyphenyl)-2-(4-hydroxy-4-phenylpiperidin-1-yl)-1-propanol was resolved using (+)-tartaric acid in MeOH to give (1S,2S)- and (1R,2R)-1-(4-hydroxyphenyl)-2-(4-hydroxy-4-phenylpiperidin-1-yl)-1-propanol.

L15 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2003 ACS

AN 1997:377705 CAPLUS

DN 126:343494

TI Treatment of tinnitus using (hydroxyphenyl)piperidinypropanols and analogs as neuroprotective agents

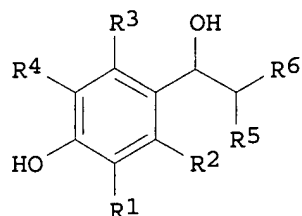
IN Sands, Stephen B.

PA Pfizer Inc., USA

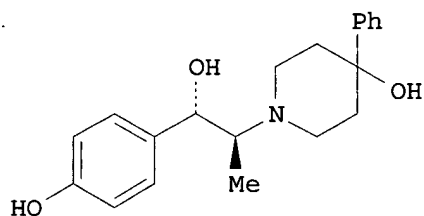
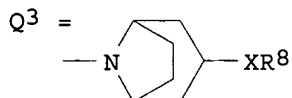
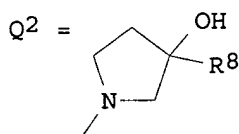
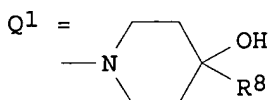
SO Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 768086	A1	19970416	EP 1996-306198	19960827
	EP 768086	B1	20020925		
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	TW 450807	B	20010821	TW 1996-85107025	19960611
	AT 224714	E	20021015	AT 1996-306198	19960827
	JP 3038155	B2	20000508	JP 1996-262343	19960912
	CA 2185512	AA	19970316	CA 1996-2185512	19960913
	AU 9665635	A1	19970320	AU 1996-65635	19960913
	AU 697679	B2	19981015		
	CN 1149454	A	19970514	CN 1996-112326	19960913
PRAI	US 1995-3855P	P	19950915		
OS	MARPAT 126:343494				
GI					



I



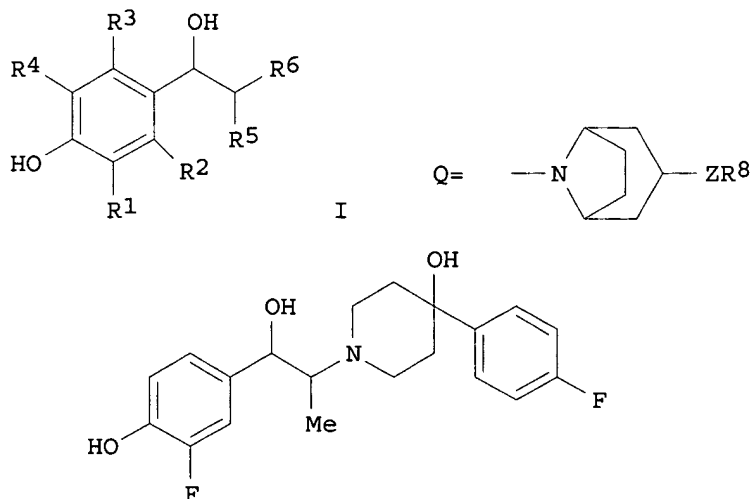
II

AB Title compds. I [R1-R4 = H, alkyl, halo, CF₃, OH, OR₇; R5 = Me, Et; or R2R5 = OCH₂ and R1, R3, R4 = H, alkyl, halo, CF₃, OH, OR₇; R6 = aza(bi)cycloalkyl groups Q1, Q2, or Q3; R7 = Me, Et, Pr, iso-Pr; R8 = Ph (un)substituted by 0-3 of alkyl, halo, CF₃; X = O, S, (CH₂)_n; n = 0-3], and their pharmaceutically acceptable salts, are neuroprotective agents, specifically NMDA antagonists, useful in the treatment of tinnitus (no data). Several compds., notably II, its enantiomer, and their tartrate salts, were prepd. Examples include resols. of racemates, and a large-scale synthetic prepn.

L15 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2003 ACS
 AN 1997:97184 CAPLUS
 DN 126:104016

TI Preparation of 1-hydroxyphenyl-2-hydroxypiperidinopropanols and analogs as
NMDA antagonists
IN Chenard, Bertrand L.; Menniti, Frank S.
PA Pfizer Inc., USA; Chenard, Bertrand, L.; Menniti, Frank, S.
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9637226	A2	19961128	WO 1995-IB398	19950526
	WO 9637226	A3	19961227		
	W: CA, FI, JP, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2219911	AA	19961128	CA 1995-2219911	19950526
	EP 828513	A2	19980318	EP 1995-918111	19950526
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
	JP 11505828	T2	19990525	JP 1995-535520	19950526
	RU 2176145	C2	20011127	RU 1996-109832	19950526
	TW 470740	B	20020101	TW 1996-85105153	19960430
	IL 118328	A1	20001206	IL 1996-118328	19960520
	NO 9602130	A	19961127	NO 1996-2130	19960524
	AU 9654519	A1	19961205	AU 1996-54519	19960524
	AU 696258	B2	19980903		
	CN 1159325	A	19970917	CN 1996-107556	19960524
	ZA 9604180	A	19971124	ZA 1996-4180	19960524
	BR 9602485	A	19980422	BR 1996-2485	19960527
	CZ 283979	B6	19980715	CZ 1996-1524	19960527
	US 6258827	B1	20010710	US 1997-930599	19971010
	FI 9704323	A	19971125	FI 1997-4323	19971125
PRAI	HU 1996-1419	A	19960524		
	CA 1995-2219911	A	19950526		
	WO 1995-IB398	W	19950526		
OS	MARPAT 126:104016				
GI					



AB Title compds. [I; R¹-R⁴ = H, halo, alkyl, alkoxy, etc.; R⁵ = Me or Et; R²R⁵ = OCH₂; R⁶ = 4-hydroxy-4-phenylpiperidino, 3-hydroxy-3-phenylpyrrolidino, azabicycloalkyl group Q, etc.; R⁸ = (un)substituted Ph; Z = bond, O, S, (CH₂)₁₋₃] were prepd. as NMDA antagonists (no data).

Thus, 3-fluoro-4-triisopropylsilyloxy-.alpha.-bromopropiophenone (prepn. given) was aminated by 4-(4-fluorophenyl)-4-hydroxypiperidine and the product reduced to give, after deprotection, title compd. II.

L15 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2003 ACS

AN 1995:699265 CAPLUS

DN 123:285708

TI (1S,2S)-1-(4-Hydroxyphenyl)-2-(4-hydroxy-4-phenylpiperidino)-1-propanol: A Potent New Neuroprotectant Which Blocks N-Methyl-D-Aspartate Responses

AU Chenard, B. L.; Bordner, J.; Butler, T. W.; Chambers, L. K.; Collins, M. A.; De Costa, D. L.; Ducat, M. F.; Dumont, M. L.; Fox, C. B.; et al.

CS Central Research Division, Pfizer Inc., Groton, CT, 06340, USA

SO Journal of Medicinal Chemistry (1995), 38(16), 3138-45

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB (+)-4-Hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-1-piperidinethanol (CP-101,606) was identified as a potent and selective N-methyl-D-aspartate (NMDA) antagonist through a structure activity relation (SAR) program based on ifenprodil, a known antihypertensive agent with NMDA antagonist activity. Sites on the threo-ifenprodil skeleton explored in this report include the pendent Me group (H, Me, and Et nearly equipotent; Pr much weaker), the spacer group connecting the C-4 Ph group to the piperidine ring (an alternating potency pattern with 0 and 2 carbon atoms yielding the greatest potency), and simple Ph substitution (little effect). While potent NMDA antagonists were obtained with a two atom spacer, this arrangement also increased .alpha.1 adrenergic affinity. Introduction of a hydroxyl group into the C-4 position on the piperidine ring resulted in substantial redn. in .alpha.1 adrenergic affinity. The combination of these observations was instrumental in the discovery of CP-101,606. This compd. potently protects cultured hippocampal neurons from glutamate toxicity (IC50 = 10 nM) while possessing little of the undesired .alpha.1 adrenergic affinity (IC50 .apprx. 20 .mu.M) of ifenprodil. Furthermore, CP-101,606 appears to lack the psychomotor stimulant effects of nonselective competitive and channel-blocking NMDA antagonists. Thus, CP-101,606 shows great promise as a neuroprotective agent and may lack the side effects of compds. currently in clin. trials.

L15 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2003 ACS

AN 1991:408584 CAPLUS

DN 115:8584

TI Preparation of 2-piperidino-1-alkanol derivatives as antiischemic agents

IN Chenard, Bertrand Leo

PA Pfizer Inc., USA

SO Eur. Pat. Appl., 48 pp.

CODEN: EPXXDW

DT Patent

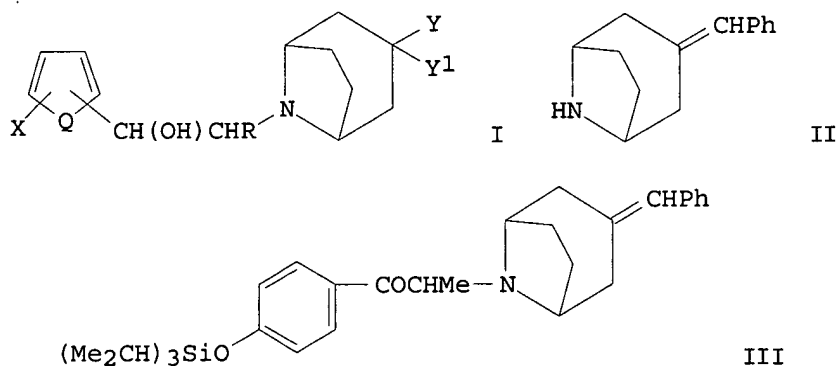
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 398578	A2	19901122	EP 1990-304975	19900509
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	SK 279476	B6	19981104	SK 1990-2328	19890517
	CZ 284342	B6	19981014	CZ 1990-2328	19900511
	US 5185343	A	19930209	US 1991-784446	19911023
	US 5272160	A	19931221	US 1992-932844	19920820
	US 5338754	A	19940816	US 1993-96913	19930723
	US 5391742	A	19950221	US 1994-228466	19940415
	US 5710168	A	19980120	US 1994-336639	19941109
	US 5527912	A	19960618	US 1995-411030	19950327
PRAI	WO 1989-US2176	A	19890517		

WO 1990-US292	A	19900116
US 1991-784446	A3	19911023
US 1992-932844	A3	19920820
US 1993-96913	A3	19930723
US 1994-228466	A2	19940415
US 1994-336639	A3	19941109

OS
GI MARPAT 115:8584



AB The title compds. (I; R = H, alkyl, alkenyl, alkynyl; X = H, OH, aryl; Y = H, OH; Y1 = aryl, aralkyl, arylthio, aryloxy, YY1 = arylmethylene, aralkylmethylene; Q = S, CH:CH), useful as antiischemic agents in treating strokes, Alzheimer's disease, Huntington's disease, and Parkinson's disease (no data), are prep'd. A mixt. of piperidine deriv. II, p-(Me₂CH)₃SiOC₆H₄COCHBrMe, and Et₃N in EtOH was refluxed to give 23% propiophenone III, which was reduced with LiAlH₄ to give 89% mixt. of (1R*,2S*)- and (1S*,2S*)-I [R = Me, X = 4-(Me₂CH)₃SiO, YY1 = PhCH, Q = CH:CH] (IV). Hydrolysis of IV with Bu₄N⁺ F⁻ in THF at room temp. gave the mixt. phenolic alc. (1S*,2S*)- and (1R*,2S*)-I (R = Me, X = 4-HO, YY1 = PhCH, Q = CH:CH). Also prep'd. were 75 addnl. I and intermediates.

=> s 15/p

L13 12 L5/P

=> s 113 and hydrogen?

968228 HYDROGEN?

L14 1 L13 AND HYDROGEN?

=> d bib abs

L14 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS

AN 1997:262327 CAPLUS

DN 126:238309

TI Preparation of (1S, 2S)-1-(4-hydroxyphenyl)-2-(4-hydroxy-4-phenylpiperidin-1-yl)-1-propanol methanesulfonate trihydrate as an NMDA antagonist.

IN Andino, Marta M.; Sinay, Terry G.; Fiese, Eugene F.

PA Pfizer Inc., USA; Andino, Marta M.; Sinay, Terry G.; Fiese, Eugene F.

SO PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9707098	A1	19970227	WO 1996-IB592	19960620
	W: AU, BG, BR, BY, CA, CN, CZ, HU, IL, IS, JP, KR, KZ, LK, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2228752	AA	19970227	CA 1996-2228752	19960620
	AU 9659084	A1	19970312	AU 1996-59084	19960620
	AU 710984	B2	19991007		
	EP 843661	A1	19980527	EP 1996-916266	19960620
	EP 843661	B1	20020327		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LV				
	JP 10510552	T2	19981013	JP 1996-509083	19960620
	CN 1198739	A	19981111	CN 1996-195649	19960620
	RU 2140910	C1	19991110	RU 1998-102116	19960620
	JP 3099072	B2	20001016	JP 1997-509083	19960620
	IL 122649	A1	20010826	IL 1996-122649	19960620
	AT 215072	E	20020415	AT 1996-916266	19960620
	ES 2170857	T3	20020816	ES 1996-916266	19960620
	NO 9800574	A	19980210	NO 1998-574	19980210
	US 6008233	A	19991228	US 1998-11426	19980507
	BR 9610766	A	19990713	BR 1996-10766	19980511
PRAI	US 1995-2238P	P	19950811		
	WO 1996-IB592	W	19960620		

AB Title compd. (I) was prepd. for treatment of degenerative nervous disorders (no data). Thus, 4'-benzyloxypropiophenone (prepn. given) was stirred with Br in CH₂Cl₂ to give 77.6% .alpha.-bromo deriv., which was refluxed with 4-hydroxy-4-phenylpiperidine and Et₃N in EtOAc to give 77% 4-hydroxy-4-phenyl-1-[1-(4-benzyloxybenzoyl)ethyl]piperidine. The latter was reduced with NaBH₄ in EtOH to give 86.5% threo alc. deriv., which was **hydrogenolyzed** (90%), resolved with D-tartaric acid, converted to the free base, and salified with MeSO₃H in H₂O to give I.

=> s 134234-13-2 or 134234-12-1 or 134138-41-3

1 134234-13-2

(134234-13-2/RN)

1 134234-12-1

(134234-12-1/RN)

1 134138-41-3

(134138-41-3/RN)

L5

3 134234-13-2 OR 134234-12-1 OR 134138-41-3

```
=> s ((ru or ruthenium) (l)diphosphin? (l)diamin?) and (hydrogena? or reduct?)
      54648 RU
      69870 RUTHENIUM
      6792 DIPHOSPHIN?
      125696 DIAMIN?
      24 (RU OR RUTHENIUM) (L)DIPHOSPHIN? (L)DIAMIN?
      243188 HYDROGENA?
      392069 REDUCT?
L1      22 ((RU OR RUTHENIUM) (L)DIPHOSPHIN? (L)DIAMIN?) AND (HYDROGENA? OR
      REDUCT?)
```

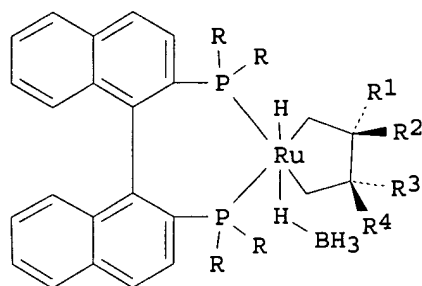
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=> s l1 and keton?
      186517 KETON?
L2      14 L1 AND KETON?
```

```
=> d bib abs 1-14
```

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L2 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 2003:28126 CAPLUS
TI Supported organometallic complexes. Part XXXV. Synthesis,
characterization, and catalytic application of a new family of
diamine(diphosphine)ruthenium(II) complexes
AU Lindner, Ekkehard; Mayer, Hermann A.; Warad, Ismail; Eichele, Klaus
CS Institut fur Anorganische Chemie der Universitat Tuingen, Auf der
Morgenstelle 18, Tuingen, D-72076, Germany
SO Journal of Organometallic Chemistry (2003), 665(1-2), 176-185
CODEN: JORCAI; ISSN: 0022-328X
PB Elsevier Science B.V.
DT Journal
LA English
AB The novel diamine(dppp)ruthenium(II) complexes
3L1-3L12 have been obtained by reaction of equimolar amts. of Cl2Ru(dppp)2
(2) with the diamines L1-L12 in excellent yields. Within a few
minutes one of the diphosphine ligands was quant. exchanged by
the corresponding diamine. X-ray structural investigations of
3L1, 3L2, and 3L8 show triclinic unit cells with the space groups P1 (3L1,
3L2) and P 1 (3L8). Whereas in soln. all these complexes prefer a
trans-RuCl2 configuration, in the solid state cis-(3L1, 3L2) and
trans-isomers (3L8) were obsd. With the exception of 3L5, 3L6, and 3L12
all mentioned ruthenium complexes are highly catalytically
active in the hydrogenation of the .alpha.,.beta.-unsatd.
ketone trans-4-phenyl-3-butene-2-one. In most cases the
conversions and selectivities toward the formation of the unsatd. alc.
trans-4-phenyl-3-butene-2-ol were >99% with high turnover frequencies
(TOFs) under mild conditions.
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L2 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 2002:360474 CAPLUS
DN 137:140120
TI trans-RuH(.eta.1-BH4)(binap)(1,2-diamine): A Catalyst for Asymmetric
Hydrogenation of Simple Ketones under Base-Free
Conditions
AU Ohkuma, Takeshi; Koizumi, Masatoshi; Muniz, Kilian; Hilt, Gerhard; Kabuto,
Chizuko; Noyori, Ryoji
CS Department of Chemistry and Research Center for Materials Science, Nagoya
University, Chikusa, Nagoya, 464-8602, Japan
SO Journal of the American Chemical Society (2002), 124(23), 6508-6509
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
```

OS CASREACT 137:140120
GI



I

AB Reaction of a chiral $\text{RuCl}_2(\text{diphosphine})(1,2\text{-diamine})$ complex and NaBH_4 forms $\text{trans-RuH}(\eta^1\text{-BH}_4)(\text{diphosphine})(1,2\text{-diamine})$ (R,RR)-I [$R = 4\text{-MeC}_6\text{H}_4$, $R_1 = R_4 = \text{Ph}$, $R_2 = R_3 = \text{H}$] and (S,SS)-I [$R = 3,5\text{-Me}_2\text{C}_6\text{H}_3$, $R_1 = R_4 = \text{H}$, $R_2 = R_3 = \text{Ph}$] quant. The TolBINAP/DPEN Ru complex (R,RR)-I [$R = 4\text{-MeC}_6\text{H}_4$, $R_1 = R_4 = \text{Ph}$, $R_2 = R_3 = \text{H}$] has been characterized by single crystal X-ray anal. as well as NMR and IR spectra. The new Ru complexes allow for asym. **hydrogenation** of simple **ketones** in 2-propanol without an addnl. strong base. Various base-sensitive **ketones** are convertible to chiral alcs. in a high enantiomeric purity with a substrate/catalyst ratio of up to 100 000 under mild conditions. Configurationally unstable 2-isopropyl- and 2-methoxycyclohexanone can be kinetically resolved with a high enantiomer discrimination. This procedure overcomes the drawback of an earlier method using $\text{RuCl}_2(\text{diphosphine})(\text{diamine})$ and an alk. base, which sometimes causes undesired reactions such as ester exchange, epoxy-ring opening, β -elimination, and polymn. of **ketonic** substrates.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2003 ACS

AN 2002:190649 CAPLUS

TI Chiral $\text{trans-}[\text{RuCl}_2(\text{dipyridylphosphine})(1,2\text{-diamine})]$: Stable catalysts for highly efficient and enantioselective **hydrogenation** of aromatic **ketones**

AU Wu, Jing; Zhou, Zhongyuan; Yeung, Chi Hung; Chan, Albert S. C.

CS Department of Applied Biology and Chemical Technology, The Hong Kong Polytechnic University, Hong Kong, N/A, Peop. Rep. China

SO Abstracts of Papers, 223rd ACS National Meeting, Orlando, FL, United States, April 7-11, 2002 (2002), ORGN-114 Publisher: American Chemical Society, Washington, D. C.

CODEN: 69CKQP

DT Conference; Meeting Abstract

LA English

AB Asym. **hydrogenation** of prochiral **ketones** remains one of the most efficient methods of producing enantiomerically enriched secondary alcs. A breakthrough in this subject is the invention of a new chiral Ru catalyst system by Noyori. Appropriate **diphosphine/diamine** Ru complexes along with an inorg. base in 2-propanol is now recognized as the most effective catalyst system for **hydrogenation** of **ketones**. Recently, we have developed a new class of chiral dipyridylphosphine ligands (Figure 1). Their Ru(II) complexes were found to be highly effective catalysts in asym. **hydrogenation** of β -ketoesters. In this study, we were very delighted to find that a wide variety of arom. **ketones** can be **hydrogenated** quant. with an excellent

enantioselectivity (up to 100%) by using trans-[RuCl₂{(R)-1}{(R,R)-DPEN}] (2) combined with (CH₃)₃COK in 2-propanol soln. with a substrate to catalyst ratio (S/C) up to 100,000 under atm. to 400 psi hydrogen pressure.

L2 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2003 ACS

AN 2001:767505 CAPLUS

DN 135:331550

TI Preparation of amino compounds containing phosphines and their ruthenium complexes for alcohol synthesis

IN Hirayama, Naoki; Shibayama, Katsuhiko

PA Toray Industries, Inc., Japan

SO Jpn. Kokai Tokkyo Koho, 18 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001294594	A2	20011023	JP 2001-27792	20010205
PRAI	JP 2000-34129	A	20000210		
OS	CASREACT 135:331550; MARPAT 135:331550				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Complexes of ruthenium(II) and amino compds. I [R₁, R₂ = H, noncyclic hydrocarbyl, (un)substituted Ph; Ar = (un)substituted Ph; if R₁ = R₂ = H, then Ar .noteq. Ph], II [R₃ = noncyclic hydrocarbyl, (un)substituted Ph; R₄, R₅ = H, noncyclic hydrocarbyl, (un)substituted Ph; Ar = same as I], III [R₆ = H, noncyclic hydrocarbyl, (un)substituted Ph; n = 0-1; Ar = same as I], or IV (R₃, R₆, Ar, n = same as above) are prepd. Alcs. are prepd by redn. of **ketones** with hydrogen in the presence of the above complexes. E.g., (R,R)-N,N'-bis[2-(diphenylphosphino)benzyl]cyclohexane-1,2-diamine was reacted with dichlororuthenium-dimethylsulfoxide complex for 6 h to give a complex, in the presence of which acetophenone was **hydrogenated** with H₂ in EtOH at 100.degree. for 4 h to give .gtoreq.99% (S)-1-phenylethyl alc.

L2 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2003 ACS

AN 2001:747801 CAPLUS

DN 135:297638

TI Preparation of ruthenium diphosphino[2.2]paracyclophane complexes and their use as catalysts for asymmetric **hydrogenation** of **ketones**

IN Burk, Mark Joseph; Hems, William; Zanotti-gerosa, Antonio

PA Chirotech Technology Limited, UK

SO PCT Int. Appl., 22 pp.

CODEN: PIXXD2

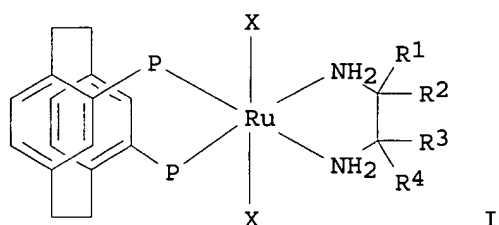
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001074829	A1	20011011	WO 2001-GB1313	20010323
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN,				

YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 EP 1276745 A1 20030122 EP 2001-914056 20010323
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 US 2002026064 A1 20020228 US 2001-821222 20010329
 US 6486337 B2 20021126
 PRAI GB 2000-7785 A 20000330
 GB 2000-18143 A 20000724
 WO 2001-GB1313 W 20010323
 OS CASREACT 135:297638; MARPAT 135:297638
 GI



AB The prepn. is described for novel ruthenium(II) complexes, suitable in particular for use as catalysts in the asym. **hydrogenation** of **ketones**, are of formula (I) or a diastereoisomer thereof, wherein each Ar is an arom. or heteroarom. group of up to 20 atoms; X is halide or carboxylate; and R1, R2, R3, R4 are independently hydrogen, aryl or alkyl, optionally linked or part of a ring. Thus, isomers of I (Ar = 3,5-dimethylphenyl; R1, R3 = Ph; R2, R4 = H; X = Cl) were prepd. and shown to catalyze the **hydrogenation** of acetophenone to 1-phenylethanol in up to 99% ee.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2003 ACS

AN 2001:639488 CAPLUS

TI Ionic asymmetric **hydrogenation**: Direct hydride and proton transfer from chiral catalysts trans-Ru(H)₂(**diphosphine**)(**diamine**) to **ketones** and imines

AU Abdur-Rashid, Kamaluddin; Clapham, Sean; Faatz, Michael; Lough, Alan; Morris, Robert H.

CS Department of Chemistry, University of Toronto, Toronto, ON, M5S 3H6, Can.

SO Abstracts of Papers, 222nd ACS National Meeting, Chicago, IL, United States, August 26-30, 2001 (2001), INOR-301 Publisher: American Chemical Society, Washington, D. C.

CODEN: 69BUZP

DT Conference; Meeting Abstract

LA English

AB The trans-dihydride complex RuH₂(R-binap)(tmen) (tmen=2,3-diamino-2,3-dimethylbutane) has been isolated, characterized and has the spectroscopic and catalytic properties of the dihydrides present in the Noyori mixts. used for the enantioselective **hydrogenation** of **ketones**. A model of H⁺/H⁻ transfer from such trans-dihydrides to **ketones** and imines is proposed that explains, and allows the prediction of, the stereochem. of the chiral alcs. and amines produced in these reactions. The use of a diamine without .beta.-hydrogens allows the isolation of the

dihydride and the amido complex with which it is in equil.

L2 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 2001:489998 CAPLUS
DN 135:235388
TI Catalytic Cycle for the Asymmetric **Hydrogenation** of Prochiral
Ketones to Chiral Alcohols: Direct Hydride and Proton Transfer
from Chiral Catalysts trans-Ru(H)₂(**diphosphine**)(
diamine) to **Ketones** and Direct Addition of Dihydrogen to
the Resulting Hydridoamido Complexes
AU Abdur-Rashid, Kamaluddin; Faatz, Michael; Lough, Alan J.; Morris, Robert
H.
CS Department of Chemistry, University of Toronto, Toronto, ON, M5S 3H6, Can.
SO Journal of the American Chemical Society (2001), 123(30), 7473-7474
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 135:235388
AB RuH(Cl)(R-binap)(HL) (I; HL = 2,3-diamino-2,3-dimethylbutane), prepd. from
RuHCl(binaph)(PPh₃) and HL, reacted with KHBsecBu₃ to give
trans-RuH₂(R-binap)(HL) (II) which lost H to give RuH(R-binap)L (III).
III reacted instantaneously to give II. The crystal structures of I, II and
III were detd. Acetophenone and II in C₆D₆ led to the formation of III.
II in acetophenone under H₂ catalytically produces S-phenylethanol in
about 14 % ee. whereas RuH₂(R,R-dpen)(R-binap) (R,R-dpen =
R,R-1,2-diphenylethylenediamine) and RuH₂(R-daipne)(R-binap) (R-daipne =
R-NH₂CHiPrC(C₆H₄OMe)₂NH₂) are more active and produces S-alcs. in higher
yields. The use of a diamine with .alpha.-H's allows the isolation of a
trans-dihydride and the amido complex with which it is in equil. by loss
of H₂. Such species are proposed to form in the Noyori mixt. used for the
enantioselective **hydrogenation** of **ketones** by the
reaction of the precursor chloro complexes with hydrogen and alkoxide
base. A model of H.delta.+...H.delta.- transfer from such a
trans-dihydride-diamine complex to a prochiral **ketone** is
proposed that explains, and allows the prediction of, the stereochem. of
the chiral alcs. produced in these reactions.
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 2001:124763 CAPLUS
DN 134:304622
TI RuHCl(diphosphine)(diamine): Catalyst Precursors for the Stereoselective
Hydrogenation of **Ketones** and Imines
AU Abdur-Rashid, Kamaluddin; Lough, Alan J.; Morris, Robert H.
CS Department of Chemistry, University of Toronto, Toronto, ON, M5S 3H6, Can.
SO Organometallics (2001), 20(6), 1047-1049
CODEN: ORGND7; ISSN: 0276-7333
PB American Chemical Society
DT Journal
LA English
AB New chiral complexes RuHCl(diphosphine)(diamine) are readily prepd. from
RuHCl(PPh₃)₃ [diphosphine = R-binap, R,R-1,2-bis(diphenylphosphinamino)cyc
lohexane (dppach); diamine = R,R-1,2-cyclohexanediamine (cydn),
R,R-1,2-diphenylethylenediamine (dpen)]. Crystal structures were detd.
for [RhHCl(R,R-dppach)(PPh₃)] and [RhHCl(R-binap)(R,R-dpen)].cntdot.THF.
The diamine complexes, in the presence of alkoxide base, catalyze the
hydrogenation of a wide variety of **ketones** and imines at
3 atm H₂, 20.degree., including prochiral imines to chiral amines in good
to excellent enantiomeric excess.
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 2000:796783 CAPLUS
TI Asymmetric **hydrogenation** via architectural and functional
molecular engineering.
AU Noyori, Ryoji
CS Department of Chemistry and Research Center for Materials Science, Nagoya
University, 464-8602 Nagoya, N/A, Japan
SO Abstr. Pap. - Am. Chem. Soc. (2000), 220th, ORGN-281
CODEN: ACSRAL; ISSN: 0065-7727
PB American Chemical Society
DT Journal; Meeting Abstract
LA English
AB The newly devised RuCl₂(phosphine)₂(1,2-**diamine**) complexes are
excellent pre-catalysts for homogenous **hydrogenation** of simple
ketones which lack any functionality capable of interacting with
the metallic center. The Ru complex, coupled with an alk. base
in 2-propanol, allows for preferential satn. of a C=O function over a
coexisting conjugated or nonconjugated C=C linkage, nitro group, halogen
atoms, and various heterocycles. The use of appropriate chiral
diphosphines and **diamines** results in rapid and
productive asym. **hydrogenation** of a range of **ketonic**
substrates. **Hydrogenation** of configurationally labile
ketones allows for dynamic kinetic discrimination of
diastereomers, epimers, and enantiomers. The versatility of this method
is manifested by the asym. synthesis of some biol. significant chiral
comps.

L2 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 2000:374244 CAPLUS
DN 133:163918
TI New chiral catalysts for **reduction** of **ketones**
AU Gao, Jing-Xing; Zhang, Hui; Yi, Xiao-Dong; Xu, Pian-Pian; Tang,
Chun-Liang; Wan, Hui-Lin; Tsai, Khi-Rui; Ikariya, Takao
CS Department of Chemistry, Institute of Physical Chemistry, State key
Laboratory for Physical Chemistry of Solid Surfaces, Xiamen University,
Xiamen, 361005, Japan
SO Chirality (2000), 12(5/6), 383-388
CODEN: CHRLEP; ISSN: 0899-0042
PB Wiley-Liss, Inc.
DT Journal
LA English
OS CASREACT 133:163918
AB The condensation of o-(diphenylphosphino)benzaldehyde with various chiral
diamines gives a series of diimino-**diphosphine**
tetradentate ligands, which are reduced with excess NaBH₄ in refluxing
ethanol to afford **diaminodiphosphine** ligands in good yield. The
reactivity of these ligands toward trans-RuCl₂(DMSO)₄ and [Rh(COD)Cl]₂ was
investigated and a no. of chiral Ru(II) and Rh(I) complexes with
the PNNP-type ligands were synthesized and characterized by microanal. and
IR, NMR spectroscopic methods. The chiral Ru(II) and Rh(I)
complexes have proved to be excellent catalyst precursors for the asym.
transfer **hydrogenation** of arom. **ketones**, leading to
optically active alcs. in up to 97% ee.
RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 1998:467768 CAPLUS
DN 129:210823
TI Trans-[RuCl₂(phosphine)₂(1,2-**diamine**)] and chiral trans-
[RuCl₂(diphosphine)(1,2-**diamine**)]: shelf-stable precatalysts for the
rapid, productive, and stereoselective **hydrogenation** of

ketones

AU Doucet, Henri; Ohkuma, Takeshi; Murata, Kunihiko; Yokozawa, Tohru; Kozawa, Masami; Katayama, Eiji; England, Anthony F.; Ikariya, Takao; Noyori, Ryoji
CS Department Chemistry Molecular Chirality Research Unit, Nagoya University, Nagoya, 464-8602, Japan
SO Angewandte Chemie, International Edition (1998), 37(12), 1703-1707
CODEN: ACIEF5; ISSN: 1433-7851
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
AB The achiral complexes trans-[RuCl₂(phosphine)₂(en)] (phosphine = PPh₃, P(C₆H₄-p-Me)₃) and chiral complexes trans-[RuCl₂(diphosphine)₂(1,2-diamine)] (diphosphine = (S)-binap, (S)-tolbinap, S,S-diop, S,S-chiraphos; diamine = S,S-dpen (1,2-diphenylethylenediamine), (S)-diapen (1,1-bis(p-methoxyphenyl)-3-methyl-1,2-butanediamine)) were prepd. Two chiral complexes, trans-[RuCl₂((R)-tolbinap)((R,R)-dpen)] and its (S,S)-dpen analog were characterized by x-ray crystallog. (both: monoclinic space group C₂, R = 0.034). The complexes were found to be among the most reactive (pre)catalysts for homogeneous **hydrogenation** so far reported. 4-R-cyclohexanones (R = H, t-Bu, Ph) were **hydrogenated** in the presence of an achiral complex and (CH₃)₃COK to rapidly produce cyclohexanol (R = H) and cis-cyclohexanols with a high cis selectivity. Rapid, highly productive asym. **hydrogenation of ketones** was achieved with the chiral precatalysts. Acetophenone was **hydrogenated** in the presence of a chiral complex and (CH₃)₃COK to give (R)-1-phenylethanol with 80% ee and in 100% yield. Asym. **hydrogenation** of 2,4,4-trimethyl-2-cyclohexenone with a chiral complex gave (R)-2,4,4-trimethyl-2-cyclohexanol with 94% ee and in 100% yield. This new **hydrogenation** procedure is clean, mild, efficient, and offers a very practical method of chiral alc. synthesis.

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2003 ACS

AN 1998:204901 CAPLUS

DN 128:206131

TI Designed synthesis of new chiral diaminodiphosphine ruthenium complexes and their application in enantioselective **hydrogenation of aromatic ketones**

AU Gao, Jingxing; Xu, Pianpian; Huang, Peiqing; Wan, Huilin; Tsai, Khirui
CS Solid Surface Inst. Phys. Chem., Xiamen Univ., Xiamen, 361005, Peop. Rep. China

SO Fenzhi Cuihua (1997), 11(6), 413-416

CODEN: FECUEN; ISSN: 1001-3555

PB Zhongguo Kexueyuan Lanzhou Huaxue Wuli Yanjiuso

DT Journal; General Review

LA Chinese

AB A review with 5 refs. on designed synthesis, structure, and catalytic properties of new **ruthenium** complexes with C₂-sym. diimino- or **diamino-diphosphine** ligands. The trans-RuCl₂ complex with C₂-sym. **diamine/diphosphine** tetradentate ligands is an effective catalyst precursor for the asym. transfer **hydrogenation** of arom. **ketones** with up to 97% enantiomeric excess. The mechanism of asym. transfer redn. of **ketones** was discussed.

L2 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2003 ACS

AN 1998:66778 CAPLUS

DN 128:127785

TI Asymmetric Activation of Racemic Ruthenium(II) Complexes for Enantioselective **Hydrogenation**

AU Ohkuma, Takeshi; Doucet, Henri; Pham, Trang; Mikami, Koichi; Korenaga,

Toshinobu; Terada, Masahiro; Noyori, Ryoji
CS Department of Chemistry, Nagoya University, Nagoya, 464-01, Japan
SO Journal of the American Chemical Society (1998), 120(5), 1086-1087
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 128:127785
AB The enantiomer-selective activation of racemic metal complexes is a viable approach for practical asym. catalysis whenever enantiomerically pure ligands are not readily available. Racemic **diphosphine-Ru(II)** complexes can be activated for asym. **hydrogenation** of simple **ketones** by the addn. of a nonracemic 1,2-**diamine**. In the presence of a catalyst formed from racemic $\text{RuCl}_2[2,2'\text{-bis}(\text{di-p-tolylphosphino})\text{-1,1'-binaphthyl}](\text{DMF})_n$, (S,S)-1,2-diphenylethylenediamine, and KOH (or KOCMe₃) in a 1:1:2 molar ratio in a 2-propanol-toluene mixt., 2,4,4-trimethyl-2-cyclohexen-1-one is **hydrogenated** under 8 atm of H₂ at 0.degree. to give (S)-2,4,4-trimethyl-2-cyclohexen-1-ol in 95% ee. **Hydrogenation** of 9-acetylanthracene, 1'-acetophenone, and o-methylacetophenone with the same catalyst system affords the corresponding R alcs. in 80, 76, and 90% ee, resp. The enantioselectivity reflects the relative turnover nos. of the competing catalytic cycles involving the diastereomeric **diphosphine/diamine** mixed-ligand **Ru** complexes. The sense and degree of asym. **hydrogenation** are highly dependent on the structures of the **diphosphine**, **diamine**, and **ketonic** substrate.

L2 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2003 ACS

AN 1996:76749 CAPLUS

DN 124:232734

TI A **Ruthenium(II)** Complex with a C₂-Symmetric **Diphosphine/Diamine** Tetradentate Ligand for Asymmetric Transfer **Hydrogenation** of Aromatic **Ketones**

AU Gao, Jing-Xing; Ikariya, Takao; Noyori, Ryoji

CS Chemistry Department, Xiamen University, Fujian, 361005, Peop. Rep. China

SO Organometallics (1996), 15(4), 1087-9

CODEN: ORGND7; ISSN: 0276-7333

PB American Chemical Society

DT Journal

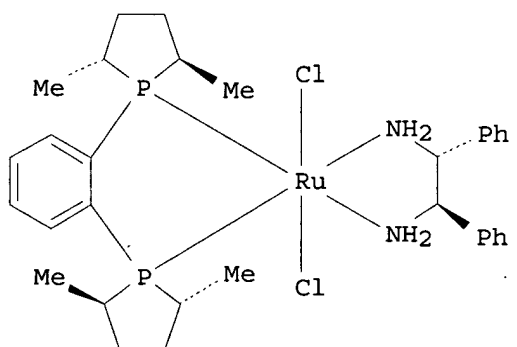
LA English

OS CASREACT 124:232734

AB The trans- $\text{Ru}(\text{ICl}_2)_2$ complexes with structurally similar N,N'-bis[o-(diphenylphosphino)benzylidene]cyclohexane-1,2-**diamine** and N,N'-bis[o-(diphenylphosphino)benzyl]cyclohexane-1,2-**diamine** ligands were synthesized, and their mol. structures were detd. The C₂-sym. **diphosphine/diamine**-based **Ru** complex acts as an excellent catalyst precursor in asym. transfer **hydrogenation** of acetophenone in a 0.1M iso-PrOH soln., leading to 2-phenylethanol in 97% ee and in 93% yield after 7 h at 45.degree.. The high catalytic activity is contrasted to the low reactivity of a structurally similar **diphosphine/diimine**-based **Ru** complex. This transfer **hydrogenation** is characterized by low reversibility under these conditions.

AN 2002:89990 CAPLUS
 DN 136:150932
 TI **Ruthenium chiral diphosphine diamine**
 complexes and their use in asymmetric **hydrogenation** for
 preparation of chiral amines
 IN Cobley, Christopher James; Henschke, Julian Paul; Ramsden, James Andrew
 PA Chirotech Technology Limited, UK
 SO PCT Int. Appl., 23 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002008169	A1	20020131	WO 2001-GB3271	20010720
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2002095056	A1	20020718	US 2001-911059	20010723
PRAI	GB 2000-18146	A	20000724		
	GB 2000-19227	A	20000804		
	GB 2001-1458	A	20010119		
	GB 2001-5742	A	20010308		
OS	CASREACT 136:150932				
GI					



I

AB A process is described for the prepn. of an enantiomerically enriched chiral amine, (R1)(R2)CNH(R3), from an imine of formula (R1)(R2)C:N(R3) where (i) R1 is aryl, R2 is alkyl and R3 is aryl or aryl-CH2-, or (ii) R2 is linked with R1 and/or R3 to form one or more rings and R3 or R1 (if not in a ring) is H or a noninterfering org. group, the no. of C atoms in each of R1, R2 and R3 being up to 30, which comprises asym. **hydrogenation** of the imine in the presence of a base and, as catalyst, a **ruthenium** complex of a chiral **diphosphine** and a chiral **diamine**. Thus, [(R,R)-Me-DuPHOS)RuCl2((R,R)-DPEN)] (I) was prepd. and used in the catalytic asym. **hydrogenation** of N-(1-phenylethylidene)aniline to give chiral phenyl(1-phenylethyl)amine in 85% ee.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 2002:32245 CAPLUS
DN 136:281109
TI Preparation and use of polymer-supported chiral ruthenium complex catalyst
AU Gao, Jing-Xing; Yi, Xiao Dong; Tang, Chun-Liang; Xu, Pian-Pian; Wan, Hui-Lin
CS Department of Chemistry, Institute of Physical Chemistry, State Key Laboratory for Physical Chemistry of Solid Surfaces, Xiamen University, Xiamen, 361005, Peop. Rep. China
SO Polymers for Advanced Technologies (2001), 12(11-12), 716-719
CODEN: PADTE5; ISSN: 1042-7147
PB John Wiley & Sons Ltd.
DT Journal
LA English
AB The chiral diimino-**diphosphine** ligand, [(R,R)-P2N2], has been prepd. by the condensation of o-(diphenylphosphino)benzaldehyde and 1,2-diamino-cyclohexane. [(R,R)-P2N2] was reduced with excess NaBH4 in refluxing ethanol to afford the corresponding **diamino-diphosphine** ligand [(R,R)-P2(NH)2]. The interaction of [(R,R)-P2(NH)2] with trans-RuCl2(DMSO)4 gave the chiral **ruthenium** complex [(R,R)-RuP2(NH)2] in 84% yield. The reaction of [(R,R)-RuP2(NH)2] with poly(acrylic acid) using dicyclohexyl carbo-diimine as the coupling agent, gave water sol. poly(acrylic acid salt)-supported chiral **ruthenium** complex. . These chiral ligands and **ruthenium** complexes have been fully characterized by microanal. and IR, NMR spectroscopic methods. The polymer-bound **ruthenium** complex as catalyst was used in asym. transfer **hydrogenation** of acetophenone in 2-propanol, producing the 1-phenylethanol in 95% yield and 96% ee. The catalyst was reused twice with some loss of activity and enantioselectivity.

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 1998:345620 CAPLUS
DN 129:161688
TI Enantioselective preparation of C2-symmetrical ferrocenyl ligands for asymmetric catalysis
AU Schwink, Lothar; Knochel, Paul
CS Fachbereich Chem., Philipps-Univ. Marburg, Marburg, D-35032, Germany
SO Chemistry--A European Journal (1998), 4(5), 950-968
CODEN: CEUJED; ISSN: 0947-6539
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
OS CASREACT 129:161688
AB Corey-Bakshi-Shibata (CBS) redn. of the 1,1'-diacylmetallocenes of Fe and Ru (e.g. 1,1'-(ClCH2CH2CH2C(O))2ferrocene) provides the C2-sym. diols 4 (e.g. (R,R)-1,1'-(MeCH(OH))2ferrocene) and 10, which proved to be useful starting materials for stereo-controlled ligand synthesis. Diols 4 and 10 can be easily converted to a wide range of **diamines**, **diphosphines**, and dithioacetates by nucleophilic substitution of the hydroxyl function with full retention of configuration. Also, the aminophosphines 30 (e.g. (.alpha.R,.alpha.'R)-2,2'-bis(.alpha.-(dimethylamino)(phenyl)methyl)-(S,S)-1,1'-bis(diphenylphosphino)ferrocene) and 31 (the Ru analog of the example for 30) become easily accessible. Compds. 30 and 31 were used as ligands complexed to Pd in enantioselective cross-coupling of racemic secondary Grignard reagents with vinyl bromides. A selectivity up to 93% ee could be reached for the 1st time in the prepn. of (S)-(E)-1,3-diphenyl-1-butene, which was

transformed into the enantiomerically pure chiral building block (2R,4R)-2,4-diphenyl-3-pentanol with a pseudoasym. center in a straightforward, three-step synthesis.

RE.CNT 93 THERE ARE 93 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 1998:209631 CAPLUS

DN 128:257208

TI Hydrogen transfer **hydrogenation** of acetophenone by ruthenium complexes containing polydentate aminophosphine ligand

AU Xu, Pian-Pian; Gao, Jing-Xing; Zheng, Rong-Hui; Peng, Wei-Ping; Huang, Pei-Qiang; Wan, Hui-Lin; Wang, Wen-Guo; Ding, Kai-Ning; Cheng, Shou-Zheng

CS Department Chemistry, State Key Laboratory Physical Chemistry Solid Surface, Institute Physical Chemistry, Xiamen University, Xiamen, 361005, Peop. Rep. China

SO Gaodeng Xuexiao Huaxue Xuebao (1998), 19(3), 442-445
CODEN: KTHPDM; ISSN: 0251-0790

PB Gaodeng Jiaoyu Chubanshe

DT Journal

LA Chinese

AB The catalytic hydrogen transfer **hydrogenation** of acetophenone using C2-sym. **diamine/diphosphine ruthenium** (II) complex has been studied. Complex RuCl₂(P₂N₂H₄) shows an excellent catalytic activity in **hydrogenation** of acetophenone at the molar ratio of substrate/Ru/iso-PrOK 200 : 1 : 12, leading to 2-phenylethanol in 99% yield after 2h. A hydrogen transfer mechanism is also discussed.

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 1997:541392 CAPLUS

DN 127:235965

TI Synthesis of chiral amines catalyzed homogeneously by metal complexes

AU James, Brian R.

CS Department of Chemistry, University of British Columbia, Vancouver, BC, Can.

SO Catalysis Today (1997), 37(2), 209-221
CODEN: CATTEA; ISSN: 0920-5861

PB Elsevier

DT Journal; General Review

LA English

AB This review (74 refs.) describes developments in catalytic asym. **hydrogenation** of prochiral imines. The homogeneous systems were initially dominated by ones based on Rh complexes contg. chiral, chelating **diphosphine** ligands, although related Ru- and Ir-based systems are becoming more prominent; a very recent, extremely effective hydrogen transfer system (from formic acid), based on Ru catalysts contg. chiral 1,2-**diamine** ligands, is esp. significant. A fundamentally different type involving an early transition-metal catalyst (a chiral titanocene) has been reported. Enantiomeric excess values in the range of 90-100% have now been achieved with certain substrates. Emphasis is given to some Rh and Ru catalysts developed by the author and his colleagues. Factors discussed include: dependence of conversions, rates and e.e. values on substrate and catalyst type; kinetic dependences; and mechanistic insights, esp. possible roles of intermediate metal-hydride and -imine species.

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 1997:417145 CAPLUS

DN 127:103518

TI Synthesis, characterization and catalytic properties of new **diamino/diphosphine ruthenium** complexes

AU Xu, Pianpian; Gao, Jingxing; Wang, Wenguo; Chen, Zhong; Huang, Peiqiang;

Wan, Huilin; Tsai, Khi-Rui
 CS Dep. of Chemistry, State Key Laboratory of Physical Chemistry of Solid Surface and Institute of Physical Chemistry, Xiamen University, Xiamen, 361005, Peop. Rep. China
 SO Wuli Huaxue Xuebao (1997), 13(6), 484-488
 CODEN: WHXUEU; ISSN: 1000-6818
 PB Beijing Daxue Chubanshe
 DT Journal
 LA Chinese
 AB Polydentate ligands, N,N'-bis[o-(diphenylphosphino)benzylidene]-1,2-propanediamine [P2N2Me] and N,N'-bis[o-(diphenylphosphino)benzyl]-1,2-propanediamine [P2N2H4Me] were synthesized. The interaction of RuCl₂(DMSO)₄ with one equiv. of P2N2Me or P2N2H4Me in refluxing toluene gave trans-RuCl₂(P2N2Me) and trans-RuCl₂(P2N2H4Me) in good yield, resp. The ligands and the complexes were fully characterized by elemental anal. and spectroscopic methods. The complexes act as an excellent catalyst precursor in H transfer **hydrogenation** of acetophenone in catalyst:acetophenone:iso-ProK of 1:100:15, leading to 2-phenylethanol of 89-96% yield. The crystal structure of trans-Ru(P2N2Me)Cl₂ was detd.

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS
 AN 1997:225240 CAPLUS
 DN 126:317212
 TI A new catalyst for hydrogen transfer **hydrogenation** of acetophenone
 AU Xu, Pian Pian; Zheng, Rong Hui; Gao, Jing Xing; Huang, Pei Qing; Wan, Hui Lin
 CS Dep. Chem. State Key Lab. Phys. Chem. Solid Surface, Xiamen Univ., Xiamen, 361005, Peop. Rep. China
 SO Chinese Chemical Letters (1997), 8(3), 255-258
 CODEN: CCLEE7
 PB Chinese Chemical Society
 DT Journal
 LA English
 OS CASREACT 126:317212
 AB A new C2-sym. **diamine/diphosphine ruthenium** (II) complex, RuCl₂P2N2H₄, was used as an excellent catalyst to carry out the catalytic hydrogen transfer redn. of acetophenone. The conversion of acetophenone to 1-phenylethanol was up to 99% under the following reaction conditions: substrate:Ru:(CH₃)₂CHOK=200:1:12; reaction temp. of 65.degree.C; reaction time of 2 h; normal pressure. A hydride transfer mechanism was also discussed.

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS
 AN 1990:590883 CAPLUS
 DN 113:190883
 TI Process for the **reduction** of aromatic nitro compounds by carbon monoxide in aqueous alkali
 IN Nomura, Kotohiro; Ishino, Masaru
 PA Sumitomo Chemical Co., Ltd., Japan
 SO Eur. Pat. Appl., 17 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 369864	A2	19900523	EP 1989-403095	19891109
	EP 369864	A3	19910403		
	EP 369864	B1	19940309		
	R: CH, DE, FR, GB, IT, LI				
	US 5087755	A	19920211	US 1989-436690	19891115
	JP 03169838	A2	19910723	JP 1989-300073	19891117

JP 2765127 B2 19980611
PRAI JP 1988-293394 19881118
JP 1989-226930 19890831
OS MARPAT 113:190883

AB The title process comprises redn. of arom. compds. by CO in the presence of alkali aq. soln., e.g., aq. NaOH, and a Rh compd. as a catalyst. Alternatively, a Rh or Ru catalyst was used in the presence of alkali aq. soln. and .gtoreq.1 amine, **diamine**, phosphine, **diphosphine**, or phosphite compd. Thus, 5 mmol C₆H₅NO₂, 5 mL 5N NaOH, 15 mL MeOCH₂CH₂OH, and 0.02 mmol Rh₄(CO)₁₂ was placed into a Schlenk tube fitted with a gas bag with CO (1 atm) and the mixt. was stirred 3 h at 25.degree. to give 23 mmol C₆H₅NH₂/mg atom Rh (a total turnover no. TN = 23), vs. TN .ltoreq. 1 without aq. NaOH. A similar redn. in the presence of the same amt. of aq. NaOH and 0.25 mmol Ph₂PCH₂PPH₂/mg atom Rh gave TN = 50.

=> s- (hydrogena?(1)keto?) (1)?hydrid?

243188 HYDROGENA?

271754 KETO?

320673 ?HYDRID?

L4 829 (HYDROGENA?(L)KETO?) (L)?HYDRID?

=> s l4 and (ru or ruthenium)

54648 RU

69870 RUTHENIUM

L5 66 L4 AND (RU OR RUTHENIUM)

=> s l5 and ?phsophi?

74 ?PHSOPHI?

L6 0 L5 AND ?PHSOPHI?

=> s l5 and ?phosphi?

225251 ?PHOSPHI?

L7 41 L5 AND ?PHOSPHI?

=> s l7 and ?diamin?

248437 ?DIAMIN?

L8 8 L7 AND ?DIAMIN?

=> d bib abs 1-8

L8 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 2002:617740 CAPLUS

TI Mechanism of the **hydrogenation** of **ketones** catalyzed by **dihydrido(diamine)ruthenium(II)** complexes

AU Morris, Robert H.; Abdur-Rashid, Kamaluddin; Clapham, Sean E.; Had-ovic, Alen; Lough, Alan; Harvey, Jeremy N.

CS Department of Chemistry, University of Toronto, Toronto, ON, M5S 3H6, Can.

SO Abstracts of Papers, 224th ACS National Meeting, Boston, MA, United States, August 18-22, 2002 (2002), INOR-588 Publisher: American Chemical Society, Washington, D. C.
CODEN: 69CZPZ

DT Conference; Meeting Abstract

LA English

AB The trans-**dihydride** complexes $\text{Ru}(\text{H})_2(\text{R-binap})(\text{tmen})$ and $\text{Ru}(\text{H})_2(\text{PPh}_3)_2(\text{tmen})$, $\text{tmen}=\text{NH}_2\text{CMe}_2\text{CMe}_2\text{NH}_2$ and cis-**dihydride** $\text{RuH}_2(\text{PPh}_3)_2(\text{cydn})$, $\text{cydn}=\text{R,R-diaminocyclohexane}$, have been prep'd. Corresponding unprecedented **hydridoamido** complexes $\text{RuH}(\text{R-binap})(\text{NH}_2\text{CMe}_2\text{CMe}_2\text{NH})$, $\text{RuH}(\text{PPh}_3)_2(\text{NH}_2\text{CMe}_2\text{CMe}_2\text{NH})$, and $\text{RuH}(\text{PPh}_3)_2(\text{NH}_2\text{C}_6\text{H}_{10}\text{NH})$ are prep'd. from the **dihydrides** by reaction with acetophenone or from the corresponding complexes $\text{RuHCl}(\text{diamine})(\text{phosphine})_2$ by reaction with a base with a $\text{pK}_a(\text{THF})$ of approx. 40 for the acid form. A rate law for the **hydrogenation** of acetophenone in benzene and isopropanol catalyzed by the **dihydride** or amido complexes is first order in [catalyst] and $[\text{H}_2]$ and zero order in [ketone]. Both theory and expt. suggest that the intramol. heterolytic splitting of dihydrogen across the polar **Ru-N** double bond of the **hydrido** amido complexes is the turn-over limiting step under the conditions studied. The crystal structure of $\text{RuH}(\text{OCHO})(\text{PPh}_3)_2(\text{tmen})$ displays similar features to the calcd. transition state for proton/ **hydride** transfer to the **ketone**. The stereochem. of the transfer explains the enantioselectivity of Noyori-type **ketone** asym. **hydrogenation** catalysts.

L8 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 2001:639488 CAPLUS

TI Ionic asymmetric **hydrogenation**: Direct **hydride** and

proton transfer from chiral catalysts trans-Ru(H)₂(**diphosphine**)(**diamine**) to **ketones** and imines

AU Abdur-Rashid, Kamaluddin; Clapham, Sean; Faatz, Michael; Lough, Alan; Morris, Robert H.

CS Department of Chemistry, University of Toronto, Toronto, ON, M5S 3H6, Can.

SO Abstracts of Papers, 222nd ACS National Meeting, Chicago, IL, United States, August 26-30, 2001 (2001), INOR-301 Publisher: American Chemical Society, Washington, D. C.

CODEN: 69BUZP

DT Conference; Meeting Abstract

LA English

AB The trans-**dihydride** complex RuH₂(R-binap)(tmen) (tmen=2,3-**diamino**-2,3-dimethylbutane) has been isolated, characterized and has the spectroscopic and catalytic properties of the **dihydrides** present in the Noyori mixts. used for the enantioselective **hydrogenation** of **ketones**. A model of H⁺/H⁻ transfer from such trans-**dihydrides** to **ketones** and imines is proposed that explains, and allows the prediction of, the stereochem. of the chiral alcs. and amines produced in these reactions. The use of a **diamine** without .beta.-hydrogens allows the isolation of the **dihydride** and the amido complex with which it is in equil.

L8 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 2001:597933 CAPLUS

DN 135:180775

TI Process for preparing optically active secondary alcohols having nitrogenous or oxygenic functional groups

IN Nakano, Seiji; Noyori, Ryoji; Ohkuma, Takeshi; Ishii, Dai

PA Asahi Kasei K. K., Japan

SO PCT Int. Appl., 163 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001058843	A1	20010816	WO 2001-JP797	20010205
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 2001030583	A5	20010820	AU 2001-30583	20010205
	EP 1254885	A1	20021106	EP 2001-902770	20010205
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			

PRAI JP 2000-30127 A 20000208

WO 2001-JP797 W 20010205

OS CASREACT 135:180775; MARPAT 135:180775

AB Described is a process for prepg. optically active secondary alcs. of the general formula R₁C*H(OH)(CH₂)_nA [wherein R₁ is linear lower alkyl, or (un)substituted mono-, di-, or tricyclic arom. hydrocarbon or heterocyclic ring group; A is CH₂NR₂R₃, CH₂OR₄, or CH(OR₁₅)₂; wherein R₂ is acyl, alkoxycarbonyl, (un)substituted linear, branched, or cyclic alkyl, (un)substituted alkenyl, aralkyl, or aryl, (un)substituted and (un)satd. carbon chain, (un)substituted mono- or polycyclic heterocyclyl, etc.; R₃ is (un)substituted linear, branched, or cyclic alkyl, (un)substituted alkenyl, aralkyl, or aryl, (un)substituted and (un)satd. carbon chain, (un)substituted mono- or polycyclic heterocyclyl, etc.; R₄ (un)substituted

linear, branched, or cyclic alkyl, (un)substituted benzyl, aralkyl, or aryl, (un)substituted and (un)satd. carbon chain, (un)substituted mono- or polycyclic heterocyclyl, etc.; R15 is linear, branched, or cyclic lower alkyl, (un)substituted Ph or benzyl, etc.; n is an integer of 0 to 2; and * represents an asym. carbon atom] by asym. hydrogenating a ketone compd. of the general formula $R_1CO(CH_2)_nA$ (R_1 , n , and A are same as above) having a nitrogenous or oxygenic functional group at any of the α -, β - and γ -positions, with selectivity among functional groups by the use of a **ruthenium/optically active bidentate phosphine/diamine** complex as the catalyst in the presence of hydrogen alone or together with a base. This process gives in high yields with high enantioselectivity under mild conditions, optically active secondary alcs. which are useful as drugs and intermediates for the prepn. of drugs. Thus, 1.2 mg trans-RuCl₂[(S)-xylbinap][(S)-daipen] [wherein xylbinap = 2,2'-bis[bis(3,5-dimethylphenyl)phosphino]-1,1'-binaphthyl; 1-isopropyl-2,2-bis(p-methoxyphenyl)ethylenediamine] (prepn. given), 3.46 g 4'-fluoro-4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]butyrophenone, 200 μ L 1.0 M potassium tert-butoxide/2-methyl-2-propanol soln., and 20 mL 2-propanol were vigorously stirred under hydrogen at 8 atm and 25.degree. for 32 h to give 94.5% (R)-1-(4-fluorophenyl)-4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]butanol (99% ee).

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 2001:489998 CAPLUS

DN 135:235388

TI Catalytic Cycle for the Asymmetric **Hydrogenation** of Prochiral **Ketones** to Chiral Alcohols: Direct **Hydride** and Proton Transfer from Chiral Catalysts trans-Ru(H)₂(**diphosphine**)(**diamine**) to **Ketones** and Direct Addition of Dihydrogen to the Resulting **Hydridoamido** Complexes

AU Abdur-Rashid, Kamaluddin; Faatz, Michael; Lough, Alan J.; Morris, Robert H.

CS Department of Chemistry, University of Toronto, Toronto, ON, M5S 3H6, Can.

SO Journal of the American Chemical Society (2001), 123(30), 7473-7474

CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

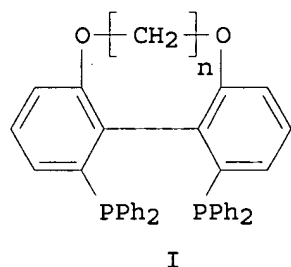
OS CASREACT 135:235388

AB RuH(Cl)(R-binap)(HL) (I; HL = 2,3-**diamino**-2,3-dimethylbutane), prepd. from RuHCl(binaph)(PPh₃) and HL, reacted with KHBsecBu₃ to give trans-RuH₂(R-binap)(HL) (II) which lost H to give RuH(R-binap)L (III). III reacted instantaneously to give II. The crystal structures of I, II and III were detd. Acetophenone and II in C₆D₆ led to the formation of III. II in acetophenone under H₂ catalytically produces S-phenylethanol in about 14 % ee. whereas RuH₂(R,R-dpen)(R-binap) (R,R-dpen = R,R-1,2-**diphenylethylenediamine**) and RuH₂(R-daipne)(R-binap) (R-daipne = R-NH₂CHiPrC(C₆H₄OMe)₂NH₂) are more active and produces S-alcs. in higher yields. The use of a **diamine** with α -H's allows the isolation of a trans-**dihydride** and the amido complex with which it is in equil. by loss of H₂. Such species are proposed to form in the Noyori mixt. used for the enantioselective **hydrogenation** of **ketones** by the reaction of the precursor chloro complexes with hydrogen and alkoxide base. A model of H. δ .+...H. δ .- transfer from such a trans-**dihydride-diamine** complex to a prochiral **ketone** is proposed that explains, and allows the prediction of, the stereochem. of the chiral alcs. produced in these reactions.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS
 AN 2001:228894 CAPLUS
 DN 134:266437
 TI Chiral **phosphines**, transition metal complexes thereof and uses thereof in asymmetric reactions
 IN Zhang, Xumu
 PA Penn State Research Foundation, USA
 SO PCT Int. Appl., 52 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001021625	A1	20010329	WO 2000-US25635	20000919
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 1214328 A1 20020619 EP 2000-965136 20000919 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL PRAI US 1999-154845P P 19990920 WO 2000-US25635 W 20000919 OS CASREACT 134:266437; MARPAT 134:266437 GI				



AB Chiral ligands and transition metal complexes based on such chiral ligands useful in asym. catalysis are disclosed. The chiral ligands include chiral C1-C6-TunaPhos ligands I (n = 1-6). The **ruthenium** TunaPhos complex reduces **ketones** to the corresponding alcs. with 95-99.6 % enantioselectivity. The transition metal complexes of the chiral ligands are useful in asym. reactions such as asym. **hydrogenation**, **hydride** transfer, hydrosilylation, hydroboration, hydrovinylation, hydroformylation, hydrocarboxylation, isomerization, allylic alkylation, cyclopropanation, Diels-Alder reaction, Heck reaction, isomerization, Aldol reaction, Michael addn. and epoxidn. reactions.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

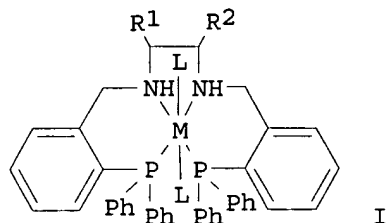
L8 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS
 AN 2001:124763 CAPLUS
 DN 134:304622

TI RuHCl(**diphosphine**)(**diamine**): Catalyst Precursors for
the Stereoselective Hydrogenation of Ketones and Imines
AU Abdur-Rashid, Kamaluddin; Lough, Alan J.; Morris, Robert H.
CS Department of Chemistry, University of Toronto, Toronto, ON, M5S 3H6, Can.
SO Organometallics (2001), 20(6), 1047-1049
CODEN: ORGND7; ISSN: 0276-7333
PB American Chemical Society
DT Journal
LA English
AB New chiral complexes RuHCl(**diphosphine**)(**diamine**) are
readily prepd. from RuHCl(PPh₃)₃ [**diphosphine** = R-binap,
R,R-1,2-bis(**diphenylphosphinamino**)cyclohexane (dppach);
diamine = R,R-1,2-cyclohexanediamine (cydn), R,R-1,2-
diphenylethylenediamine (dpen)]. Crystal structures were detd.
for [RhHCl(R,R-dppach)(PPh₃)] and [RhHCl(R-binap)(R,R-dpen)].cntdot.THF.
The **diamine** complexes, in the presence of alkoxide base,
catalyze the hydrogenation of a wide variety of ketones and imines at 3
atm H₂, 20.degree., including prochiral imines to chiral amines in good to
excellent enantiomeric excess.
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 2000:382195 CAPLUS
DN 133:150079
TI **Ruthenium Dihydride** RuH₂(PPh₃)₂((R,R)-
cyclohexyldiamine) and **Ruthenium Monohydride**
RuHCl(PPh₃)₂((R,R)-**cyclohexyldiamine**): Active Catalyst and
Catalyst Precursor for the **Hydrogenation of Ketones**
and Imines
AU Abdur-Rashid, Kamaluddin; Lough, Alan J.; Morris, Robert H.
CS Department of Chemistry, University of Toronto, Toronto, ON, M5S 3H6, Can.
SO Organometallics (2000), 19(14), 2655-2657
CODEN: ORGND7; ISSN: 0276-7333
PB American Chemical Society
DT Journal
LA English
OS CASREACT 133:150079
AB The new **monohydride** RuHCl(PPh₃)₂(R,R-cydn), with base added, and
dihydride RuH₂(PPh₃)₂(R,R-cydn), in the absence of base, catalyze
the **hydrogenation** of a wide variety of **ketones** and
some imines at 3 atm of H₂ and 20.degree. with high turnover nos. Thus,
RuH₂(PPh₃)₂(R,R-cydn) (1)-catalyzed **hydrogenation** of
acetophenone gave (S)-phenylethyl alc. in 60% enantiomeric excess. The
crystal structure of 1 was detd. The mechanism is thought to involve the
concerted dihydrogen transfer from cis **hydride** and N-H groups to
the substrate followed by heterolytic dihydrogen splitting.
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 2000:59461 CAPLUS
DN 132:87337
TI Preparation of chiral **diaminodiphosphine** metal complexes as
catalysts in asymmetrically catalytic hydrogenation
IN Gao, Jingxing; Xu, Pianpian; Huang, Peiqiang; Wan, Huilin; Cai, Qirui
PA Xiamen Univ., Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 9 pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI	CN 1168889	A	19971231	CN 1997-112606	19970602
	CN 1047597	B	19991222		
PRAI	CN 1997-112606		19970602		
OS	MARPAT 132:87337				
GI					



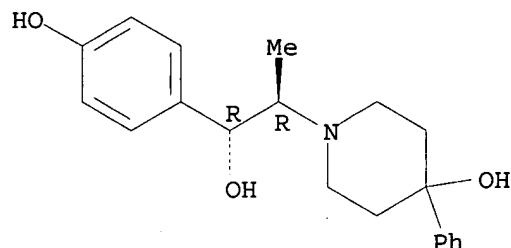
AB Title complexes [I; R = H, CH₃, C₆H₅; R₁ = H, CH₃, C₆H₅; M = Ru, Rh, Pd, Cu, Ag, Cr, Mo; L = Cl, Br, OAc, electrons] are prepd. from 2-Ph₂PC₆H₄CHO and H₂NCHRCHR₁NH₂ in halohydrocarbon solvent in the presence of a dewatering agent (NaSO₄, MgSO₄, CaCl₂, CaO) at 15-42.degree. for 40-55 h following with treatment by NaBH₄ in alc. (MeOH, EtOH) at 56-78.degree. for 40-60 and react with MYX (M as above; Y = (DMSO)₄, (CH₃CN)₂, (CO)₄; X = Cl₂, C₇H₈). Title complexes were used as catalyst of asym. **hydrogenation** of aryl **ketone**. The asym. **hydrogenation** process comprised mixing aryl **ketone** and reducer (H₂, **borohydride**, isopropanol-K isopropoxide, isopropanol-KOH, isobutanol-K isobutoxide) with the title complex and base, stirring at 0-50.degree. for 5-96 h while aerating, concg. in vacuum, and purifying with silica gel column.

L8 ANSWER 1 OF 6 REGISTRY COPYRIGHT 2003 ACS
 RN 189894-54-0 REGISTRY
 CN 1-Piperidineethanol, 4-hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-, (.alpha.R,.beta.R)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C20 H25 N O3 . C4 H6 O6
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 134234-13-2
 CMF C20 H25 N O3

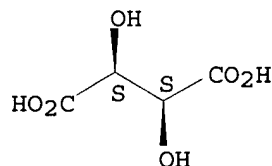
Absolute stereochemistry. Rotation (-).



CM 2

CRN 147-71-7
 CMF C4 H6 O6

Absolute stereochemistry.



3 REFERENCES IN FILE CA (1962 TO DATE)
 3 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L8 ANSWER 2 OF 6 REGISTRY COPYRIGHT 2003 ACS
 RN 188591-67-5 REGISTRY
 CN 1-Piperidineethanol, 4-hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-, (.alpha.S,.beta.S)-, methanesulfonate (salt) (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN 1-Piperidineethanol, 4-hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-, [S-(R*,R*)]-, methanesulfonate (salt)
 OTHER NAMES:
 CN CP 101606-27
 CN Traxoprodil mesylate
 FS STEREOSEARCH
 MF C20 H25 N O3 . C H4 O3 S
 SR CA

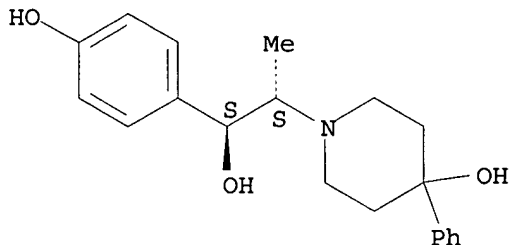
LC STN Files: CA, CAPLUS, CASREACT, PHAR, TOXCENTER, USPATFULL

CM 1

CRN 134234-12-1

CMF C20 H25 N O3

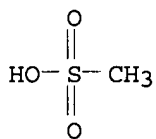
Absolute stereochemistry. Rotation (+).



CM 2

CRN 75-75-2

CMF C H4 O3 S



6 REFERENCES IN FILE CA (1962 TO DATE)

6 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L8 ANSWER 3 OF 6 REGISTRY COPYRIGHT 2003 ACS

RN 188591-65-3 REGISTRY

CN 1-Piperidineethanol, 4-hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-, (.alpha.R,.beta.R)-rel- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1-Piperidineethanol, 4-hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-, (R*,R*)-

FS STEREOSEARCH

DR 134138-41-3

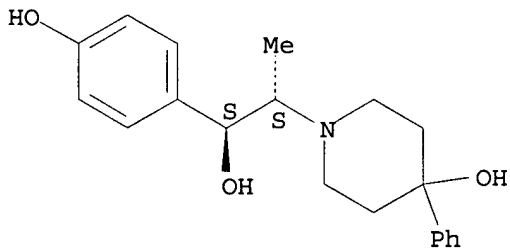
MF C20 H25 N O3

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

12 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
12 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L8 ANSWER 4 OF 6 REGISTRY COPYRIGHT 2003 ACS

RN 169332-17-6 REGISTRY

CN 1-Piperidineethanol, 4-hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-, (.alpha.S,.beta.S)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1-Piperidineethanol, 4-hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-, [S-(R*,R*)]-, [S-(R*,R*)]-2,3-dihydroxybutanedioate (1:1) (salt)

FS STEREOSEARCH

MF C20 H25 N O3 . C4 H6 O6

SR CA

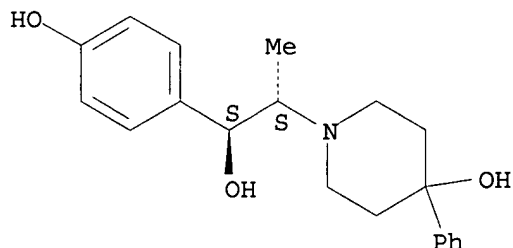
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 134234-12-1

CMF C20 H25 N O3

Absolute stereochemistry. Rotation (+).

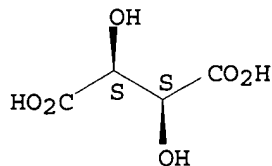


CM 2

CRN 147-71-7

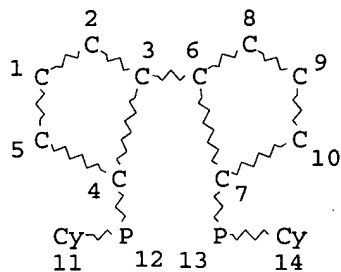
CMF C4 H6 O6

Absolute stereochemistry.



6 REFERENCES IN FILE CA (1962 TO DATE)
6 REFERENCES IN FILE CAPLUS (1962 TO DATE)

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 L1 STR



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 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC 4 6
 NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

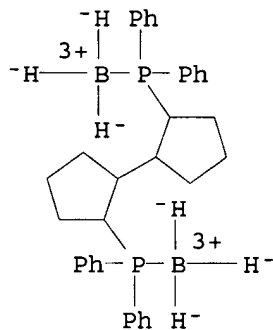
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 FULL SCREEN SEARCH COMPLETED - 151 TO ITERATE

100.0% PROCESSED 151 ITERATIONS 9 ANSWERS
 SEARCH TIME: 00.00.01

L3 9 SEA SSS FUL L1

=> d scan

L3 9 ANSWERS REGISTRY COPYRIGHT 2003 ACS
 IN Boron, [.mu.-[(1R,1'R,2R,2'R)-[1,1'-bicyclopentyl]-2,2'-
 diylbis[diphenylphosphine-.kappa.P]]]hexahydrodi- (9CI)
 MF C34 H42 B2 P2
 CI CCS



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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